产品名称：奈妥吡坦
产品别名：**Netupitant**

<table>
<tr><td colspan="2">生物活性：</td></tr>
<tr><td>Description</td><td>Netupitant (CID-6451149) is a highly potent and selective, orally active neurokinin-1 receptor antagonist with Ki of 0.95 nM. IC50 value: 0.95 nM (Ki) [1] Target: NK1 receptor in vitro: Netupitant also dose-dependently inhibited the SP response as expected from an NK1 receptor antagonist. Importantly, when both palonosetron and netupitant were present, they exhibited an enhanced inhibition of the SP response compared to either of the two antagonists alone [2]. in vivo: In mice the intrathecal injection of SP elicited the typical scratching, biting and licking response that was dose-dependently inhibited by Netupitant given intraperitoneally in the 1-10mg/kg dose range. In gerbils, foot tapping behavior evoked by the intracerebroventricular injection of a NK(1) agonist was dose-dependently counteracted by Netupitant given intraperitoneally (ID(50) 1.5mg/kg) or orally (ID(50) 0.5mg/kg) [3].</td></tr>
<tr><td>Solvent&Solubility</td><td>
In Vitro:
DMSO : 2 mg/mL (3.46 mM; ultrasonic and warming and heat to 60°C)
<table>
<tr><td rowspan="4">Preparing Stock Solutions</td><td>Solvent / Mass / Concentration</td><td>1 mg</td><td>5 mg</td><td>10 mg</td></tr>
<tr><td>1 mM</td><td>1.7283 mL</td><td>8.6417 mL</td><td>17.2834 mL</td></tr>
<tr><td>5 mM</td><td>---</td><td>---</td><td>---</td></tr>
<tr><td>10 mM</td><td>---</td><td>---</td><td>---</td></tr>
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*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。
储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。
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<tr><td>References</td><td>
[1]. Hoffmann T, et al. Design and synthesis of a novel, achiral class of highly potent and selective, orally active neurokinin-1 receptor antagonists. Bioorg Med Chem Lett. 2006 Mar 1;16(5):1362-5.
[2]. Stathis M, et al. Inhibition of substance P-mediated responses in NG108-15 cells by netupitant and palonosetron exhibit synergistic effects. Eur J Pharmacol. 2012 Aug 15;689(1-3):25-30.
[3]. Rizzi A, et al. In vitro and in vivo pharmacological characterization of the novel NK1 receptor selective antagonist Netupitant. Peptides. 2012 Sep;37(1):86-97.
[4]. Ajit G. Thomas, et al. Netupitant and palonosetron trigger NK1 receptor internalization in NG108-15 cells. Exp Brain Res. 2014; 232(8): 2637–2644.
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